

107663⁵⁰

~~10771861 .5~~ Page 1

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NEWS	2	"Ask CAS" for self-help around the clock
NEWS	3	May 12 EXTEND option available in structure searching
NEWS	4	May 12 Polymer links for the POLYLINK command completed in REGISTRY
NEWS	5	May 27 New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus
NEWS	6	May 27 CAplus super roles and document types searchable in REGISTRY
NEWS	7	Jun 28 Additional enzyme-catalyzed reactions added to CASREACT
NEWS	8	Jun 28 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R)
NEWS	9	Jul 12 BEILSTEIN enhanced with new display and select options, resulting in a closer connection to BABS
NEWS	10	Jul 30 BEILSTEIN on STN workshop to be held August 24 in conjunction with the 228th ACS National Meeting
NEWS	11	AUG 02 IFIPAT/IFIUDB/IFICDB reloaded with new search and display fields
NEWS	12	AUG 02 CAplus and CA patent records enhanced with European and Japan Patent Office Classifications
NEWS	13	AUG 02 STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting
NEWS	14	AUG 02 The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available
NEWS	15	AUG 04 Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004
NEWS EXPRESS		JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004
NEWS HOURS		STN Operating Hours Plus Help Desk Availability
NEWS INTER		General Internet Information
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FILE 'HOME' ENTERED AT 14:36:29 ON 24 AUG 2004

10766350

~~10771861~~ .5 Page 2

=> file reg
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE ENTRY	TOTAL SESSION
0.21	0.21

FILE 'REGISTRY' ENTERED AT 14:36:39 ON 24 AUG 2004
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STRUCTURE FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3
DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

Please note that search-term pricing does apply when conducting SmartSELECT searches.

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Experimental and calculated property data are now available. For more information enter HELP PROP at an arrow prompt in the file or refer to the file summary sheet on the web at:
<http://www.ehme.com/prop/property.html>

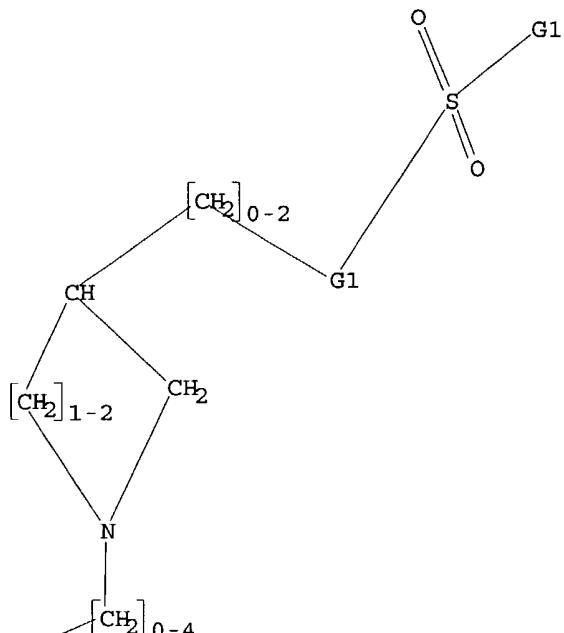
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR

107663e6
10771861 .5 Page 3



G1 CH₂, CH, NH₂

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 14:37:04 FILE 'REGISTRY'
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50.1% PROCESSED 400000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.05

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **INCOMPLETE**
PROJECTED ITERATIONS: 797779 TO 797779
PROJECTED ANSWERS: 1 TO 5

L2 1 SEA SSS FUL L1

=> file caplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 155.42 155.63

FILE 'CAPLUS' ENTERED AT 14:37:15 ON 24 AUG 2004
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FILE COVERS 1907 - 24 Aug 2004 VOL 141 ISS 9
 FILE LAST UPDATED: 23 Aug 2004 (20040823/ED)

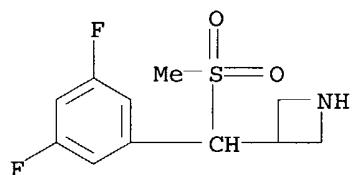
This file contains CAS Registry Numbers for easy and accurate substance identification.

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 L3 1 L2

=> d 13 fbib hitstr abs total

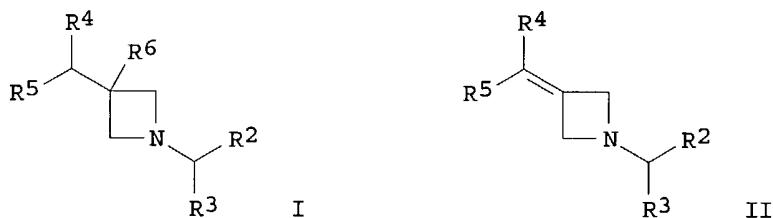
L3	ANSWER 1 OF 1	CAPLUS	COPYRIGHT 2004 ACS on STN		
AN	2001:661381	CAPLUS			
DN	135:226873				
TI	Preparation and formulation of azetidines for pharmaceutical use				
IN	Achard, Daniel; Bouchard, Herve; Bouquerel, Jean; Filoche, Bruno; Grisoni, Serge; Hittinger, Augustin; Myers, Michael				
PA	Aventis Pharma S.A., Fr.				
SO	PCT Int. Appl., 249 pp.				
	CODEN: PIXXD2				
DT	Patent				
LA	French				
FAN.CNT	1				
PATENT NO.		KIND	DATE		
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PI	WO 2001064632	A1	20010907		
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			A 20000303		
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			WO 2001-FR600	W 20010301	
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		FR 2000-2775	A 20000303
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US 2001027193	A1 20011004	US 2001-798072	20010302
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NO 2002004175	A 20021029	NO 2002-4175	20020902
		FR 2000-2775	A 20000303
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BG 107056	A 20030731	BG 2002-107056	20020903
		FR 2000-2775	A 20000303
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US 2003055033	A1 20030320	US 2002-242575	20020912
		FR 2000-2775	A 20000303
		US 2000-200399P	P 20000427
		US 2001-798072	A1 20010302
OS MARPAT 135:226873			
IT 359402-80-5P			
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)			
(preparation and formulation of azetidines for pharmaceutical use)			
RN 359402-80-5 CAPLUS			
CN Azetidine, 3-[(3,5-difluorophenyl)(methylsulfonyl)methyl]-, hydrochloride (9CI) (CA INDEX NAME)			



● HCl

GI



AB Azetidines, such as I and II [R₂, R₃ = aryl, heteroaryl; R₄ = alkyl, arylalkyl, cycloalkyl, heteroaryl, heteroarylalkyl, etc.; R₅ = H, acyl, alkylsulfonyl, carboxyl, carboxamido, etc.; R₆ = H, CN, alkylamino, alkylthio, etc.], were prepared for use as pharmaceuticals with potential usefulness in treating conditions such as neurol. disorders, cancer, immunol. disorders, and substance abuse. Thus, I (R₂ = R₃ = C₆H₄-4-Cl, R₄

= SO₂Me, R₅ = C₆H₃-3,5-F₂, R₆ = H) was prepared via a multistep synthetic sequence starting from MeSNa, BrCH₂C₆H₃-3,5-F₂, BrCH(C₆H₄-4-Cl)₂, and 1-(diphenylmethyl)-3-azetidinone. Data for specific biol. activities were not given, however, pharmaceutical formulations for delivery were presented.

RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> S GPCR and 12
L4 0 GPCR AND L2

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	7.02	162.65
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-0.70	-0.70

STN INTERNATIONAL LOGOFF AT 14:37:53 ON 24 AUG 2004

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| NEWS | 3 | May 12 EXTEND option available in structure searching |
| NEWS | 4 | May 12 Polymer links for the POLYLINK command completed in REGISTRY |
| NEWS | 5 | May 27 New UPM (Update Code Maximum) field for more efficient patent SDIs in CAplus |
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| NEWS | 7 | Jun 28 Additional enzyme-catalyzed reactions added to CASREACT |
| NEWS | 8 | Jun 28 ANTE, AQUALINE, BIOENG, CIVILENG, ENVIROENG, MECHENG, and WATER from CSA now available on STN(R) |
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| NEWS | 12 | AUG 02 CAplus and CA patent records enhanced with European and Japan Patent Office Classifications |
| NEWS | 13 | AUG 02 STN User Update to be held August 22 in conjunction with the 228th ACS National Meeting |
| NEWS | 14 | AUG 02 The Analysis Edition of STN Express with Discover! (Version 7.01 for Windows) now available |
| NEWS | 15 | AUG 04 Pricing for the Save Answers for SciFinder Wizard within STN Express with Discover! will change September 1, 2004 |
| NEWS EXPRESS | | JULY 30 CURRENT WINDOWS VERSION IS V7.01, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 11 AUGUST 2004 |
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=> file reg  
COST IN U.S. DOLLARS  
SINCE FILE ENTRY TOTAL  
FULL ESTIMATED COST 0.21 SESSION 0.21
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FILE 'REGISTRY' ENTERED AT 14:45:48 ON 24 AUG 2004
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DICTIONARY FILE UPDATES: 23 AUG 2004 HIGHEST RN 731771-88-3

TSCA INFORMATION NOW CURRENT THROUGH MAY 21, 2004

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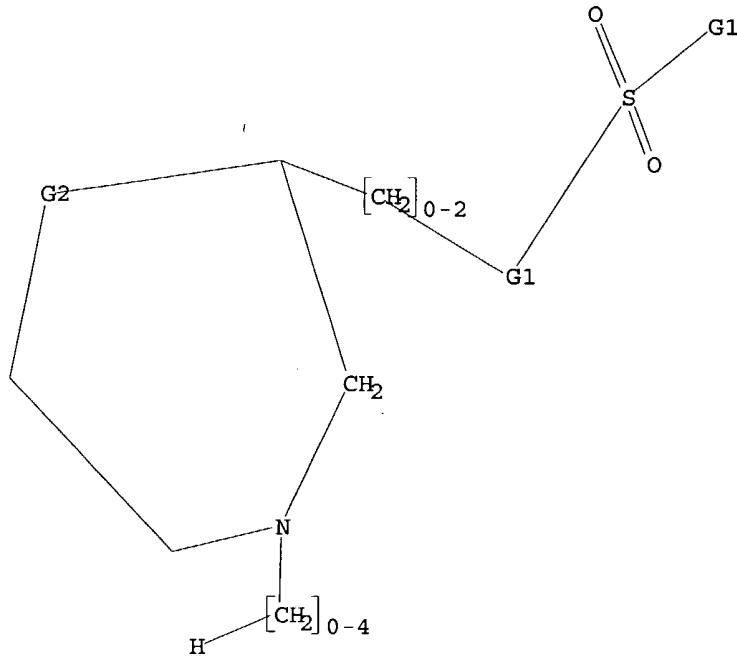
Experimental and calculated property data are now available. For more
information enter HELP PROP at an arrow prompt in the file or refer
to the file summary sheet on the web at:
<http://www.cas.org/ONLINE/DBSS/registryss.html>

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=>  
Uploading c:\program files\stnexp\queries\10766300.1
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L1 STRUCTURE uploaded

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=> s l1 end  
COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID  
The query entered contains both search terms created by  
structure-building or screen commands and text search terms. L#s  
created via the STRUCTURE or SCREEN commands must be searched in the  
structures files separately from text terms or profiles. The L#  
answer sets from structure searches can be used in crossover searches  
and can be combined with text terms.
```

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=> d l1  
L1 HAS NO ANSWERS  
L1 STR
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G1 CH₂, CH, NH₂G2 C, O, S, N, CH₂, CH, SO₂, NH

Structure attributes must be viewed using STN Express query preparation.

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FULL SEARCH INITIATED 14:46:33 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 545649 TO ITERATE
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73.3% PROCESSED 400000 ITERATIONS          4 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.05
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FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
                        BATCH **COMPLETE**
PROJECTED ITERATIONS:      545649 TO 545649
PROJECTED ANSWERS:         4 TO 12
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L2 4 SEA SSS FUL L1

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=> file caplus
COST IN U.S. DOLLARS          SINCE FILE      TOTAL
                                ENTRY           SESSION
FULL ESTIMATED COST          155.84        156.05
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```
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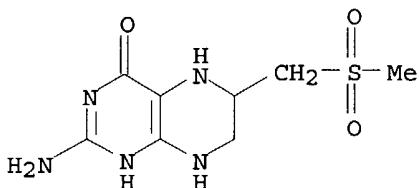
FILE COVERS 1907 - 24 Aug 2004 VOL 141 ISS 9
 FILE LAST UPDATED: 23 Aug 2004 (20040823/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s 12
L3      5 L2

=> d 13 fbib hitstr abs total

L3  ANSWER 1 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN  2002:392358 CAPLUS
DN  137:119060
TI  Structural Requirements for Inhibition of the Neuronal Nitric Oxide Synthase (NOS-I): 3D-QSAR Analysis of 4-Oxo- and 4-Amino-Pteridine-Based Inhibitors
AU  Matter, Hans; Kotsonis, Peter; Klingler, Otmar; Strobel, Hartmut; Froehlich, Lothar G.; Frey, Armin; Pfleiderer, Wolfgang; Schmidt, Harald H. H. W.
CS  Molecular Modeling, Aventis Pharma, Frankfurt am Main, 65926, Germany
SO  Journal of Medicinal Chemistry (2002), 45(14), 2923-2941
     CODEN: JMCMAR; ISSN: 0022-2623
PB  American Chemical Society
DT  Journal
LA  English
OS  CASREACT 137:119060
IT  443889-32-5
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
     (preparation and QSAR of 4-oxo- and 4-amino-pteridine-based neuronal NOS inhibitors)
RN  443889-32-5 CAPLUS
CN  4 (1H)-Pteridinone, 2-amino-5,6,7,8-tetrahydro-6-[(methylsulfonyl)methyl]-(9CI) (CA INDEX NAME)
```



AB The family of homodimeric nitric oxide synthases (NOS I-III) catalyzes the generation of the cellular messenger nitric oxide (NO) by oxidation of the substrate L-arginine. The rational design of specific NOS inhibitors is

of therapeutic interest in regulating pathol. NO levels associated with sepsis, inflammatory, and neurodegenerative diseases. The cofactor (6R)-5,6,7,8-tetrahydrobiopterin (H4Bip) maximally activates all NOSs and stabilizes enzyme quaternary structure by promoting and stabilizing dimerization. Here, we describe the synthesis and three-dimensional (3D) quant. structure-activity relationship (QSAR) anal. of 65 novel 4-amino- and 4-oxo-pteridines (antipterins) as inhibitors targeting the H4Bip binding site of the neuronal NOS isoform (NOS-I). The exptl. binding modes for two inhibitors complexed with the related endothelial NO synthase (NOS-III) reveal requirements of biol. affinity and form the basis for ligand alignment. Different alignment rules were derived by building other compds. accordingly using manual superposition or a genetic algorithm for flexible superposition. Those alignments led to 3D-QSAR models (comparative mol. field anal. (CoMFA) and comparative mol. similarity index anal. (CoMSIA)), which were validated using leave-one-out cross-validation, multiple analyses with two and five randomly chosen cross-validation groups, perturbation of biol. activities by randomization or progressive scrambling, and external prediction. An iterative realignment procedure based on rigid field fit was used to improve the consistency of the resulting partial least squares models. This led to consistent and highly predictive 3D-QSAR models with good correlation coeffs. for both CoMFA and CoMSIA, which correspond to exptl. determined NOS-II and -III H4Bip binding site topologies as well as to the NOS-I homol. model binding site in terms of steric, electrostatic, and hydrophobic complementarity. These models provide clear guidelines and accurate activity predictions for novel NOS-I inhibitors.

RE.CNT 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
AN 2000:608717 CAPLUS
DN 133:207678
TI Preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to A β
IN Smith, David W.; Munoz, Benito; Srinivasan, Kumar; Bergstrom, Carl P.; Chaturvedula, Prasad V.; Deshpande, Milind S.; Keavy, Daniel J.; Lau, Wai Yu; Parker, Michael F.; Sloan, Charles P.; Wallace, Owen B.; Wang, Henry Hui
PA Merck & Co., Inc., USA; Bristol-Myers Squibb Company
SO PCT Int. Appl., 377 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| NO 2001004135 | A | 20010927 | NO 2001-4135 | 20010824 |
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OS MARPAT 133:207678

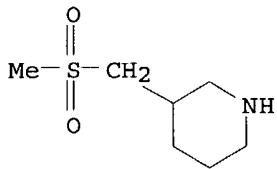
IT 290328-54-0P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

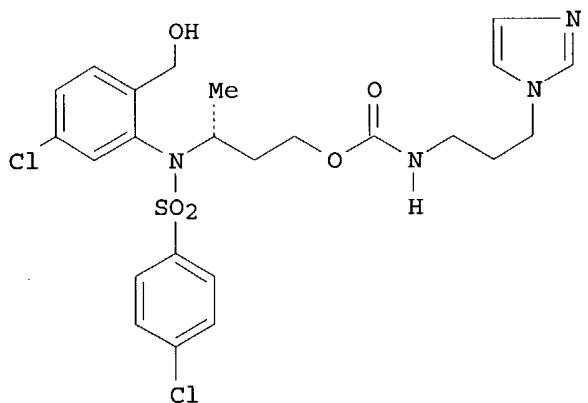
(preparation of sulfonamide derivs. as amyloid β production inhibitors useful in treating or preventing diseases related to A β)

RN 290328-54-0 CAPLUS

CN Piperidine, 3-[(methylsulfonyl)methyl]- (9CI) (CA INDEX NAME)



GI



AB Title compds. [(D)(G)CHN(E)SO₂(J); D = H, alkyl, heterocycle, halo, alkoxy, ester, amide; G = alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkenyl, cycloalkynyl, (CHR₁)_nO(CHR₂)_mCONR₃R₄, heterocycle, aryl, amine, amide, ester, ether, carbamate; D-G = cyclic; n = 1, 2, 3, 4; m = 0, 1, 2, 3, 4; R₁, R₂, R₃, R₄ are independently H, alkyl; R₃-R₄ = cyclic; E = H, alkyl, alkenyl, alkynyl, heterocycle, aryl, alkoxy, amide, sulfonyl, sulfonamidyl, sulfide; J = alkyl, alkenyl, alkynyl, aryl, heterocycle, polycyclic; J-E = cyclic], pharmaceutically acceptable salts, and composition comprising title compds. are prepared. Title compds. can act to modulate production of amyloid β protein (APP751, APP695wt, APP670/671, APP670/671/717, sAPP, α -sAPP, β -sAPP) and are useful in the prevention or treatment of a variety of diseases; such diseases are amyloid angiopathy, cerebral amyloid angiopathy, systemic amyloidosis, Alzheimer's disease, hereditary cerebral hemorrhage with amyloidosis of the Dutch type, inclusion body myositis, and Down's syndrome. Thus, the title compound I was prepared and tested.

RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:731828 CAPLUS
 DN 126:7997
 TI Preparation of heterocyclic tricyclic compounds useful for inhibition of γ -protein function and for treatment of cell proliferative diseases
 IN Afonso, Adriano; Baldwin, John J.; Doll, Ronald J.; Li, Ge; Mallams, Alan K.; Njoroge, F. George; Rane, Dinanath F.; Reader, John C.; Rossman, Randall R.
 PA Schering Corporation, USA; Pharmacopeia, Inc.
 SO PCT Int. Appl., 135 pp.
 CODEN: PIXXD2
 DT Patent

LA English

FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|------------------|-------------|
| PI | WO 9631478 | A1 | 19961010 | WO 1996-US4172 | 19960403 |
| | W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU | | | | |
| | RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | US 1995-418323 | A 19950407 |
| IL | 117798 | A1 | 20011125 | IL 1996-117798 | 19960402 |
| | | | | US 1995-418323 | A 19950407 |
| CA | 2217499 | AA | 19961010 | CA 1996-2217499 | 19960403 |
| | | | | US 1995-418323 | A 19950407 |
| AU | 9655279 | A1 | 19961023 | AU 1996-55279 | 19960403 |
| | AU 719990 | B2 | 20000518 | US 1995-418323 | A 19950407 |
| | | | | WO 1996-US4172 | W 19960403 |
| EP | 819121 | A1 | 19980121 | EP 1996-912469 | 19960403 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, LT, LV, FI | | | US 1995-418323 | A 19950407 |
| | | | | WO 1996-US4172 | W 19960403 |
| BR | 9604787 | A | 19980707 | BR 1996-4787 | 19960403 |
| | | | | US 1995-418323 | A 19950407 |
| | | | | WO 1996-US4172 | W 19960403 |
| CN | 1187189 | A | 19980708 | CN 1996-194571 | 19960403 |
| | | | | US 1995-418323 | A 19950407 |
| JP | 10511981 | T2 | 19981117 | JP 1996-530364 | 19960403 |
| | JP 3038017 | B2 | 20000508 | US 1995-418323 | A 19950407 |
| | | | | WO 1996-US4172 | W 19960403 |
| NZ | 306665 | A | 20000128 | NZ 1996-306665 | 19960403 |
| | | | | US 1995-418323 | A 19950407 |
| | | | | WO 1996-US4172 | W 19960403 |
| TW | 462968 | B | 20011111 | TW 1996-85103970 | 19960405 |
| | | | | US 1995-418323 | A 19950407 |
| US | 5801175 | A | 19980901 | US 1996-713324 | 19960913 |
| | | | | US 1995-418323 | B2 19950407 |
| | | | | WO 1996-US4172 | A 19960403 |
| NO | 9704610 | A | 19971208 | NO 1997-4610 | 19971006 |
| | | | | US 1995-418323 | A 19950407 |
| | | | | WO 1996-US4172 | W 19960403 |
| US | 6214827 | B1 | 20010410 | US 1998-108124 | 19980623 |
| | | | | US 1995-418323 | B2 19950407 |
| | | | | WO 1996-US4172 | W 19960403 |
| | | | | US 1996-713324 | A1 19960913 |

PATENT FAMILY INFORMATION:

FAN 1998:585371

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|--|------|----------|-----------------|-------------|
| PI | US 5801175 | A | 19980901 | US 1996-713324 | 19960913 |
| | | | | US 1995-418323 | B2 19950407 |
| | | | | WO 1996-US4172 | A 19960403 |
| WO | 9631478 | A1 | 19961010 | WO 1996-US4172 | 19960403 |
| | W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, | | | | |

KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO,
 RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ,
 MD, RU
 RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
 IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
 MR, NE, SN, TD, TG

| | | | | |
|------------|----|----------|----------------|-------------|
| US 6214827 | B1 | 20010410 | US 1995-418323 | A 19950407 |
| | | | US 1998-108124 | 19980623 |
| | | | US 1995-418323 | B2 19950407 |
| | | | WO 1996-US4172 | W 19960403 |
| | | | US 1996-713324 | A1 19960913 |

OS MARPAT 126:7997

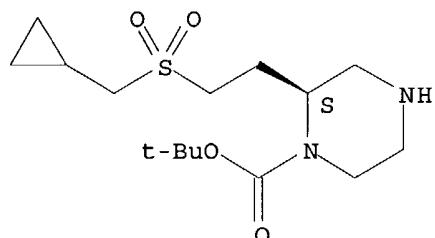
IT 183591-37-9

RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of heterocyclic tricyclic compds. useful for inhibition of
 g-protein function and for treatment of cell proliferative diseases)

RN 183591-37-9 CAPLUS

CN 1-Piperazinecarboxylic acid, 2-[2-[(cyclopropylmethyl)sulfonyl]ethyl]-,
 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; A, B = H, halogen, alkyl; R1 = COCN(NH₂)CH₂SH, CH₂CH(NH₂)CH₂SH, COCH(NH₂)CH₂NH₂, CH₂CH(SH)CH₂NH₂, etc.; W = CH, CH₂, O, S; X = C, CH, N; the dotted lines represent optional double bonds and when present W = CH and X = C], useful for inhibiting the Ras function and therefore inhibiting the abnormal growth of cells (e.g., cancer) via the inhibition of farnesyl protein transferase, are prepared and I-containing formulations presented. Thus, pyridine derivative II was prepared and demonstrated a tumor cell IC₅₀ of 12.5 μM.

L3 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN

AN 1996:710529 CAPLUS

DN 126:8134

TI Preparation of antineoplastic carbonylpiperazinyl and -piperidinyl derivatives which inhibit farnesyl protein transferase

IN Doll, Ronald J.; Mallams, Alan K.; Afonso, Adriano; Rane, Dinanath F.; Njoroge, F. George; Rossman, Randall A.; Baldwin, John J.; Li, Ge; Reader, John C.

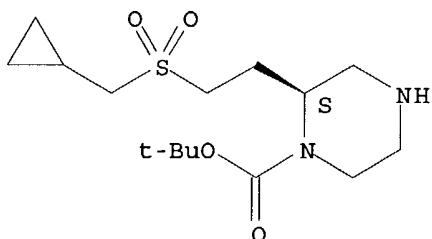
PA Schering Corporation, USA; Pharmacopeia, Inc.

SO PCT Int. Appl., 84 pp.

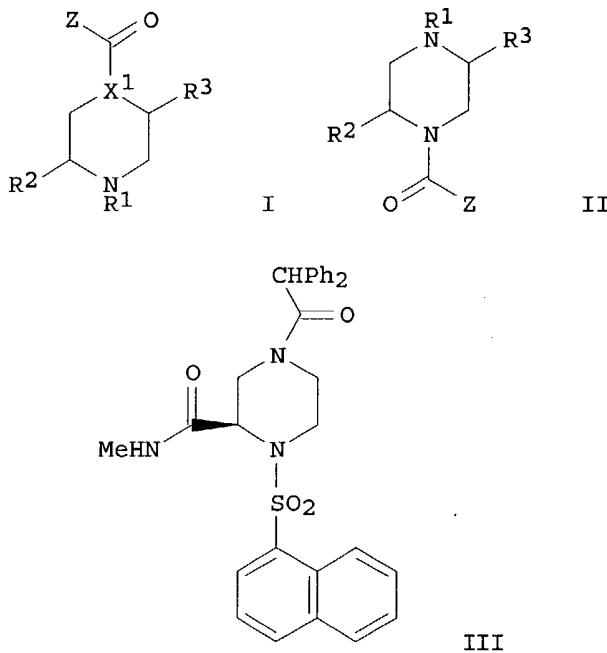
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---|------|----------|-----------------|------------|
| PI | WO 9631501 | A1 | 19961010 | WO 1996-US4169 | 19960403 |
| | W: AL, AM, AU, AZ, BB, BG, BR, BY, CA, CN, CZ, EE, GE, HU, IS, JP, KG, KR, KZ, LK, LR, LT, LV, MD, MG, MK, MN, MX, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU | | | | |
| | RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | US 1995-418319 | A 19950407 |
| | ZA 9602694 | A | 19961003 | ZA 1996-2694 | 19960403 |
| | | | | US 1995-418319 | A 19950407 |
| | CA 2217351 | AA | 19961010 | CA 1996-2217351 | 19960403 |
| | CA 2217351 | C | 20030318 | | |
| | AU 9654326 | A1 | 19961023 | US 1995-418319 | A 19950407 |
| | | | | AU 1996-54326 | 19960403 |
| | | | | US 1995-418319 | A 19950407 |
| | | | | WO 1996-US4169 | W 19960403 |
| | EP 820452 | A1 | 19980128 | EP 1996-911440 | 19960403 |
| | EP 820452 | B1 | 20030604 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, LT, LV, FI | | | US 1995-418319 | A 19950407 |
| | | | | WO 1996-US4169 | W 19960403 |
| | JP 10511979 | T2 | 19981117 | JP 1996-530361 | 19960403 |
| | JP 3038016 | B2 | 20000508 | | |
| | | | | US 1995-418319 | A 19950407 |
| | | | | WO 1996-US4169 | W 19960403 |
| | AT 242231 | E | 20030615 | AT 1996-911440 | 19960403 |
| | | | | US 1995-418319 | A 19950407 |
| | | | | WO 1996-US4169 | W 19960403 |
| | ES 2194986 | T3 | 20031201 | ES 1996-911440 | 19960403 |
| | | | | US 1995-418319 | A 19950407 |
| OS | MARPAT 126:8134 | | | | |
| IT | 183591-37-9P | | | | |
| | RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent) | | | | |
| | (preparation of antineoplastic carbonylpiperazinyl and -piperidinyl derivs. which inhibit farnesyl protein transferase) | | | | |
| RN | 183591-37-9 CAPLUS | | | | |
| CN | 1-Piperazinecarboxylic acid, 2-[2-[(cyclopropylmethyl)sulfonyl]ethyl]-, 1,1-dimethylethyl ester, (S)- (9CI) (CA INDEX NAME) | | | | |

Absolute stereochemistry.



GI



AB The title compds. [I, II; R₁ = carbonyl- or sulfonyl-containing moiety; R₂, R₃ = aminocarbonyl- or carboxyalkyl-containing moiety; Z = (un)substituted quinolinyl, (un)substituted quinolinylalkyl, (un)substituted naphthyl, (un)substituted naphthylalkyl, (un)substituted diphenylmethyl, (un)substituted diphenylalkyl, etc.] (e.g., III; IC₅₀ for farnesyl protein transferase <10 mM), useful for inhibiting the Ras function and therefore inhibiting the abnormal growth of cells (e.g., cancer), are prepared and I-containing formulations presented.

L3 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2004 ACS on STN
 AN 1996:56265 CAPLUS
 DN 124:76535

TI Tetrahydropteridine derivatives as inhibitors of nitric oxide synthase
 IN Pfleiderer, Wolfgang; Schmidt, Harald; Henning, Rainer

PA Cassella AG, Germany
 SO Ger. Offen., 19 pp.
 CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|----|---------------|------|----------|-----------------|------------|
| PI | DE 4418097 | A1 | 19951130 | DE 1994-4418097 | 19940524 |
| | CA 2188267 | AA | 19951130 | CA 1995-2188267 | 19950511 |
| | | | | DE 1994-4418097 | A 19940524 |
| WO | 9532203 | A2 | 19951130 | WO 1995-EP1785 | 19950511 |
| | WO 9532203 | A3 | 19951228 | | |
| | W: CA, JP, US | | | | |

RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE
DE 1994-4418097 A 19940524

EP 760818 A1 19970312 EP 1995-921745 19950511
EP 760818 B1 20020306

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE
DE 1994-4418097 A 19940524
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DE 1994-4418097 A 19940524

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DE 1994-4418097 A 19940524

OS MARPAT 124:76535

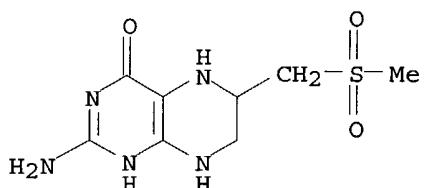
IT 172758-35-9

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(tetrahydropteridine derivs. as inhibitors of nitric oxide synthase)

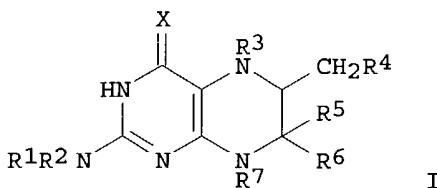
RN 172758-35-9 CAPLUS

CN 4 (1H)-Pteridinone, 2-amino-5,6,7,8-tetrahydro-6-[(methylsulfonyl)methyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

GI



AB Tetrahydropteridine derivs. I [X = O, NH; R1 = H, Me, C1-5 alkanoyl, nicotinoyl, (1-methyl-3-pyridinio)carbonyl; R2, R5-R7 = H, Me; R3 = H, Me, Et, PhCH₂, C1-5 alkanoyl, Bz, nicotinoyl, PhCH₂O₂C, etc.; R4 = H, C1-4 alkylthio, S(=O)Me, NH₂, NHMe, NMe₂, OH, etc.; or R3R4 = C(=O)O; m = 1, 2], as analogs of the NO synthase cofactor tetrahydrobiopterin, are inhibitors

of NO synthase and of endogenous NO production, and are useful in treatment of diseases characterized by elevated NO levels (e.g. hypotensive shock, type I diabetes, atherosclerosis). Thus, I-3HCl (X = O, R1-R3 = R5-R7 = H, R4 = NHMe) at 100 μ M inhibited NO synthase from pig brain by 57.5% in the presence of 2 μ M tetrahydrobiopterin. Pills were prepared containing active agent 50, corn starch 100, lactose 60, CaHPO₄ 30, soluble starch 5, Mg stearate 10, and colloidal silicic acid 5 mg.

=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|--|------------------|---------------|
| FULL ESTIMATED COST | 30.54 | 186.59 |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
| CA SUBSCRIBER PRICE | -3.50 | -3.50 |

STN INTERNATIONAL LOGOFF AT 14:47:04 ON 24 AUG 2004